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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D239/94 C07D239/95 C07D471/04 C07D491/04 C07D495/04 C07D239/46 A61K31/517 A61P25/18 According to International Patent Classification (IPC) or to both national classification and IPC B. FIELDS SEARCHED Minimum documentation searched (classification system tollowed by classification symbols) IPC 7 C07D A61K A61P Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) CHEM ABS Data, EPO-Internal C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Category * Relevant to claim No. χ EP 0 607 439 A (EISAI) 1,2,4,11 27 July 1994 (1994-07-27) page 1 -page 13; claims; table ALL EP 0 566 226 A (ZENECA) Α 1 20 October 1993 (1993-10-20) page 1 -page 20; claims χ claims; examples 1-80 11,25,26 Α WO 97 49689 A (PHARMACIA & UPJOHN) 31 December 1997 (1997-12-31) page 1 -page 26 X page 27 -page 44; claims 1,12,16 11,23, 25,26 Further documents are listed in the continuation of box C. Patent tamily members are listed in annex. Special categories of cited documents: ¹T^{*} later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention. "A" document defining the general state of the art which is not considered to be of particular relevance 'E' earlier document but published on or after the international "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art. document referring to an oral disclosure, use, exhibition or document published prior to the international filing date but later than the priority date claimed "&" document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 22 May 2001 31/05/2001 Name and mailing address of the ISA Authorized officer European Palent Office, P.B. 5818 Patentiaan 2 NL - 2280 HV Rijswijk Tel (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016 Francois, J

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INTERNATIONAL SEARCH REPORT

Interr. nal Application No
PCT/US 00/26261

C.(Continu	ation) DOCUMENTS CONSIDERED TO BE RELEVANT	 ···
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Rélevant to claim No.
A X	EP 0 414 386 A (LILLY) 27 February 1991 (1991-02-27) claims 1,7,9 claims; examples 1-100	1 11,12, 23,25,26
4	FR 2 393 531 A (SANKYO) 5 January 1979 (1979-01-05)	1
	claims page 1 -page 34	11,12, 25,26
Р,Х	DE 199 04 710 A (AVENTIS) 10 August 2000 (2000-08-10) page 1 -page 18	1,11,25, 26
	·	
	· · · · · · · · · · · · · · · · · · ·	

1

INTERNATIONAL SEARCH REPORT

Interr. nal Application No PCT/US 00/26261

Patent documen		Publication		Patent family	Publication
cited in search rep	ort	date		member(s)	date
EP 607439	Α	27-07-1994	AU	668363 B	02-05-1996
			AU	2685192 A	03-05-1993
			FI	941417 A	25-03-1994
		,	KR	138695 B	01-10-1998
			NO	941101 A	30-05-1994
			US	5576322 A	19-11-1996
			CA	2116336 A	15-04-1993
			CN	1071164 A	21-04-1993
		•	HU	70854 A	28-11-1995
			WO	9307124 A	15-04-1993
		•	JP	3081172 B	28-08-2000
			JP	10095776 A	14-04-1998
			JP	2818487 B	30-10-1998
			JP	2000264877 A	26-09-2000
•	•		JP	2000273089 A	03-10-2000
			JP	2000264885 A	26-09-2000
			MX	9205542 A	31-03-1993
			NZ	244526 A	26-07-1995
			PT	100905 A	28-02-1994
			US.	5693652 A	02-12-1997
			US	5801180 A	01-09-1998
			ZA	9207465 A	13-04-1993
EP 566226	A	20-10-1993	AT	130000 T	15-11-1995
			AU	661533 B	27-07-1995
			AU	3101093 A	22-07-1993
			CA	2086968 A,C	21-07-1993
			CZ	282038 B	16-04-1997
			DE	69300754 D	14-12-1995
			DE	69300754 T	28-03-1996
			DK	566226 T	18-03-1996
			ES	2078798 T	16-12-1995
			FI	930208 A	21-07-1993
			GR	3018143 T	29-02-1996
			HK	36497 A	04-04-1997
			HU	63153 A	28-07-1993
			HU	9500185 A	28-07-1995
	,		IL	104479 A	22-12-1999
			KR	229294 B	01-11-1999
			MX	9300277 A	30-06-1994
			NO NZ	301541 B	10-11-1997
		•	NZ	245662 A	26-09-1995
			RU Sk	2127263 C	10-03-1999
			SK US	1693 A	09-09-1993
				5457105 A	10-10-1995
			US 7a	5616582 A	01-04-1997
			ZA JP	9300015 A	20-07-1993
			JP JP	2994165 B 6073025 A	27-12-1999 15-03-1994
				6073025 A	15-03-1994
WO 9749689	Α	31-12-1997	AU	3094197 A	14-01-1998
			BR CA	9702328 A	20-07-1999
			CA	2228492 A	31-12-1997
			CN	1198158 A	04-11-1998
			EP HII	. 0853616 A	22-07-1998
			HU JP	9902026 A	28-04-2000
			JP	11511761 T	12-10-1999
			NO	980718 A	08-04-1998

INTERNATIONAL SEARCH REPORT information on patent family members

nai Application No PCT/US 00/26261

			107,00 00,0000		
Patent docur cited in search		Publication date	1	Patent family member(s)	Publication date
WO 974968	39 A		PL	325122 A	06-07-1998
			US	6057326 A	02-05-2000
EP 414386	5 A	27-02-1991	US	5034393 A	23-07-1991
			- AU	634562 B	25-02-1993
			AU	5982690 A	31-01-1991
-			BR	9003634 A	27-08-1991
		•	CA	2021925 A	28-01-1991
			JP	3066689 A	22-03-1991
			US	5350749 A	27-09-1994
FR 239353	31 A	05-01-1979	JP	1355582 C	24-12-1986
			JP	54017123 A	08-02-1979
			JP	61020522 B	22-05-1986
			BR	7803642 A	09-01-1979
	•		CA	1086642 A	30-09-1980
			CA	1230339 B	15-12-1987
			CH	636751 A	30-06-1983
			DE	2824768 A	14-12-1978
			GB	1598880 A	23-09-1981
•			GB	1582407 A	07-01-1981
			. NL	7806153 A,B,	11-12-1978
			PH	13938 A	04-11-1980
			SU	1111675 A	30-08-1984
		•	US	4323680 A	06-04-1982
		•	US	4213987 A	22-07-1980
			ZA	7803229 A	27-06-1979
DE 199047	10 A	10-08-2000	AU	2292900 A	25-08-2000
			WO -	0046214 A	10-08-2000

erilS PAGE BLANK (USPTO)